

L1 = methylsulfinyl or methylsulfonyl.

ACTIVITY - Insecticide; Pesticide; Acaricide; Nematocide.

The effect of 3-(2-butynyloxy)-5-(piperidinyl-1-yl)-1,2,4- thiadiazole (Ia) on control of growth of Aphis gossypii on cucumber plant was determined as follows. A mixture comprising (parts) (Ia) (10), white carbon containing 50 parts of polyethylene alkyl ether sulfate ammonium salt (35) and water (55) was subjected to wet grinding and then diluted with water to give a spray having a concentration of 500ppm. Cucumber seeds were planted in a polyethylene cup and grown until the first true leaf was developed. On this plant about 20 Aphis gossypii were introduced as parasites. On the next day the above spray was applied at a rate of 20 ml/cup. After six days the number of Aphis gossypii was examined. The result showed a control value of at least 90%.

MECHANISM OF ACTION - None given.

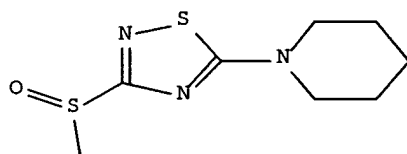
USE - Compounds (I) are used in pest control compositions for controlling growth of pests (claimed) such as insects, nematodes and acarine pests. They are particularly useful for controlling pests from Hemiptera, Lepidoptera, Diptera, Coleoptera, Thysanoptera, Hymenoptera, Dictyoptera, Orthoptera, Aphaniptera, Anoplura, Isoptera, Acarina and Nematoda.

ADVANTAGE - The 1,2,4-thiazole compounds have excellent pest controlling activity and can effectively control pests such as insect pests.

AN.S DCR-1070123

CN.S 1-(3-Methanesulfinyl-[1,2,4]thiadiazol-5-yl)-piperidine

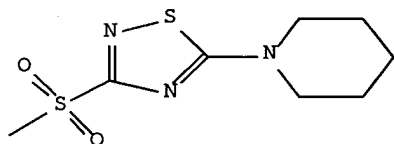
SDCN RAHQF0



AN.S DCR-1070124

CN.S 1-(3-Methanesulfonyl-[1,2,4]thiadiazol-5-yl)-piperidine

SDCN RAHQF1



=> d his nofil

(FILE 'HOME' ENTERED AT 16:49:53 ON 31 DEC 2007)

FILE 'MARPAT' ENTERED AT 16:50:00 ON 31 DEC 2007

=> fil marpat  
FILE 'MARPAT' ENTERED AT 16:51:53 ON 31 DEC 2007  
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FILE CONTENT: 1961-PRESENT VOL 148 ISS 1 (20071228/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

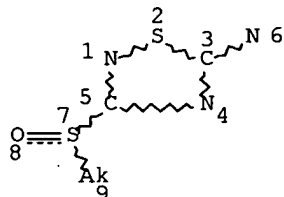
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007270387 22 NOV 2007  
DE 102006046922 15 NOV 2007  
EP 1852435 07 NOV 2007  
JP 2007299852 15 NOV 2007  
WO 2007130704 15 NOV 2007  
GB 2437429 24 OCT 2007  
FR 2900926 16 NOV 2007  
RU 2310676 20 NOV 2007  
CA 2584745 13 OCT 2007

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT  
have increased from 100,000 to 200,000 for both on-line and batch  
searches. For more information on MARPAT search limits, type HELP  
SLIMITS at an arrow prompt.

=> d que l3  
L1 STR



NODE ATTRIBUTES:

NSPEC IS R AT 6  
CONNECT IS E1 RC AT 9  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE

L3 2 SEA FILE=MARPAT SSS FUL L1

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L3 ANSWER 1 OF 2 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 147:87695 MARPAT Full-text

TITLE: Useful indole compounds

INVENTOR(S): Bartolini, Wilmin; Cali, Brian M.; Chen, Barbara;  
Chien, Yueh-Tyng; Currie, Mark G.; Milne, G. Todd;  
Pearson, James Philip; Talley, John Jeffrey; Yang,  
Jing Jing; Zimmerman, Craig; Kim, Charles; Sprott,  
Kevin; Barden, Timothy; Lundigran, Regina; Mermerian,  
Ara

PATENT ASSIGNEE(S): Microbia, Inc., USA

SOURCE: PCT Int. Appl., 670pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

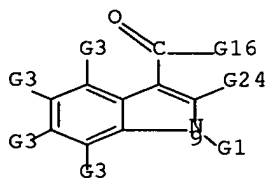
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070892	A2	20070621	WO 2006-US62265	20061218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:

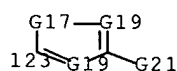
US 2005-751443P 20051216

AB Indoles that have activity as inhibitors of FAAH (fatty acid amide hydrolase) are described as are indoles and indole derivs. that have activity as inhibitors of DAO (D-amino acid oxidase).

MSTR 1



G1 = 123



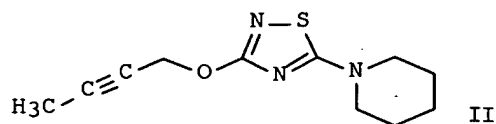
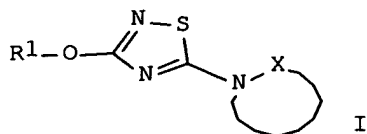
G17 = S  
 G19 = N  
 G21 = SO2Me  
 Patent location:  
 Note:  
 Note:

claim 1  
 or pharmaceutically acceptable salts  
 additional substitution also claimed

L3 ANSWER 2 OF 2 MARPAT COPYRIGHT 2007 ACS on STN

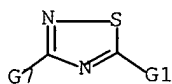
ACCESSION NUMBER: 142:430280 MARPAT Full-text  
 TITLE: Preparation of 1,2,4-thiadiazole compounds as pests  
 controlling agents  
 INVENTOR(S): Ihara, Hideki; Takaoka, Daisuke; Mizuno, Hajime  
 PATENT ASSIGNEE(S): Sumitomo Chemical Company, Limited, Japan  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037805	A2	20050428	WO 2004-JP14540	20040927
WO 2005037805	A3	20071122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
AU 2004282018	A1	20050428	AU 2004-282018	20040927
BR 2004015364	A	20061212	BR 2004-15364	20040927
EP 1765798	A2	20070328	EP 2004-773569	20040927
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2005139171	A	20050602	JP 2004-297325	20041012
US 2007004722	A1	20070104	US 2006-567984	20060210
MX 2006PA04118	A	20060705	MX 2006-PA4118	20060411
IN 2006CN01272	A	20070629	IN 2006-CN1272	20060413
PRIORITY APPLN. INFO.:			JP 2003-354758	20031015
			WO 2004-JP14540	20040927
OTHER SOURCE(S):			CASREACT 142:430280	
GI				

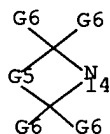


AB Title compds. I [R1 = alkynyl; X = (un)substituted straight alkylene, (un)substituted straight alkenylene, (un)substituted ethylene-oxyethylene, etc.] were prepared For example, aromatic nucleophilic substitution of 5-chloro-3-methylthio-1,2,4-thiadiazole with pyrrolidine followed by oxidation using 3-chloroperbenzoic acid and treatment with 2-butyn-1-ol afforded 3-(2-butynyloxy)-5-(pyrrolidin-1-yl)-1,2,4-thiadiazole. In pest controlling test against aphid gossypii, compound II had the control value of  $\geq 90\%$ . Compds. I are claimed useful as pests controlling agents. Formulations are given.

MSTR 1



G1 = 14



G7 = S(O)Me

Patent location:

Note:

Note:

claim 1

substitution is restricted

also incorporates claim 9, formula II

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FILE 'WPIX' ENTERED AT 16:53:00 ON 31 DEC 2007

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FILE LAST UPDATED: 21 DEC 2007 <20071221/UP>  
 MOST RECENT THOMSON SCIENTIFIC UPDATE: 200782 <200782/DW>  
 DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

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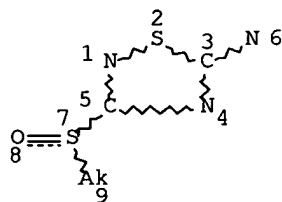
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=> d que 15  
 L1 STR



NODE ATTRIBUTES:  
 NSPEC IS R AT 6  
 CONNECT IS E1 RC AT 9  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE  
 L4 3 SEA FILE=WPIX SSS FUL L1  
 L5 2 SEA FILE=WPIX ABB=ON PLU=ON L4/DCR

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L5 ANSWER 1 OF 2 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2006-109480 [11] WPIX  
 DOC. NO. CPI: C2006-038589 [11]  
 TITLE: New 1-propiolyl piperazine derivatives useful as mGluR5  
 receptor antagonists, e.g. analgesics  
 DERWENT CLASS: B03

INVENTOR: HAURAND M; JOSTOCK R; KUEHNERT S; OBERBOERSCH S; SCHIENE  
K; KUHNERT S; OBERBORSCH S  
PATENT ASSIGNEE: (CHEF-C) GRUENENTHAL GMBH  
COUNTRY COUNT: 110

## PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2006002981	A1	20060112	(200611)*	DE	208[0]	
DE 102004032567	A1	20060302	(200616)	DE		
EP 1765816	A1	20070328	(200725)	DE		
US 20070112011	A1	20070517	(200734)	EN		
US 7300939	B2	20071127	(200780)	EN		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2006002981	A1	WO 2005-EP7248	20050705
DE 102004032567	A1	DE 2004-102004032567	20040705
EP 1765816	A1	EP 2005-756539	20050705
EP 1765816	A1	WO 2005-EP7248	20050705
US 20070112011	A1 Cont of	WO 2005-EP7248	20050705
US 20070112011	A1	US 2007-649156	20070104
US 7300939	B2 Cont of	WO 2005-EP7248	20050705
US 7300939	B2	US 2007-649156	20070104

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1765816	A1 Based on	WO 2006002981 A

PRIORITY APPLN. INFO: DE 2004-102004032567 20040705

AN 2006-109480 [11] WPIX

AB WO 2006002981 A1 UPAB: 20060214

NOVELTY - 1-Propiolyl piperazine derivatives (I) are new.

DETAILED DESCRIPTION - 1-Propiolyl piperazine derivatives and their isomers, salts and solvates are new.

X = N or CR<sub>2</sub>;

R<sub>1</sub>, R<sub>2</sub> = H, halo, NO<sub>2</sub>, CN, NH<sub>2</sub>, OH, SH, COOH, CHO, NHCHO, NHR, NRR, COR, COOR, OCOR, NHCOR, NRCOR, CONH<sub>2</sub>, CONHR, CONRR, OR, SR, SOR, SO<sub>2</sub>R, NHCONHR, NHCSNHR, NHSO<sub>2</sub>R, NRSO<sub>2</sub>R; optionally substituted (hetero)aliphatic; optionally substituted (hetero)alicyclic bonded via optionally substituted (hetero)alkylene, (hetero)alkenylene or (hetero)alkynylene or fused with an optionally substituted mono- or polycyclic ring system; or optionally substituted (hetero)aryl bonded via optionally substituted (hetero)alkylene, (hetero)alkenylene or (hetero)alkynylene or fused with an optionally substituted mono- or polycyclic ring system;

R<sub>3</sub> = a group as defined for R<sub>1</sub> and R<sub>2</sub> other than H;R<sub>4</sub> = a group as defined for R<sub>1</sub> and R<sub>2</sub> where halo is F, Cl or Br;

R = optionally substituted (hetero)aliphatic; optionally substituted (hetero)alicyclic bonded via optionally substituted (hetero)alkylene, (hetero)alkenylene or (hetero)alkynylene or fused with an optionally substituted mono- or polycyclic ring system; or optionally substituted (hetero)aryl bonded via optionally substituted (hetero)alkylene, (hetero)alkenylene or (hetero)alkynylene; and

n = 0-8.

INDEPENDENT CLAIMS are also included for two processes for preparing (I).

ACTIVITY - Analgesic; Antimigraine; Antidepressant; Neuroprotective; Antiparkinsonian; Anticonvulsant; Nootropic; Tranquilizer; Urothatic; Antidiarrheic; Antitussive; Antipruritic; Neuroleptic; Cerebroprotective; Vasotropic; Relaxant; Anorectic; Antialcoholic; Antiaddictive; Antismoking.

2-Methyl-4-(2-thiazolyl)-1-(3-(3-tolyl)propyl)piperazine hydrochloride gave a 66% in pain response in a formalin test on rats at an oral dose of 46.4 mg/kg.

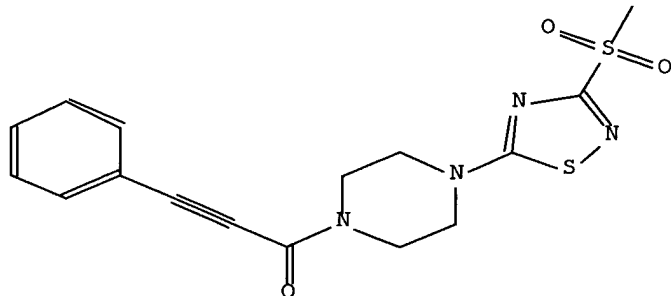
MECHANISM OF ACTION - mGluR5 receptor antagonist.

USE - Compounds (I) are used for preparing medicaments for mGluR5 receptor regulation, especially inhibition; for preparing medicaments for preventing or treating diseases mediated by mGluR5 receptors; for preparing medicaments for preventing or treating pain, migraine, depression, neurodegenerative diseases, cognitive disorders, anxiety, panic attacks, epilepsy, coughs, urinary incontinence, diarrhea, pruritis, schizophrenia, cerebral ischemia, muscle spasms, eating disorders, obesity, alcohol, drug and nicotine dependence, opioid tolerance and esophageal reflux; for diuresis; for antinatriuresis; for affecting the cardiovascular system; for increasing vigilance; for increasing libido; for modulating locomotor activity; and for local anesthesia (all claimed).

AN.S DCR-1243179

CN.S 1-[4-(3-Methanesulfonyl-1,2,4-thiadiazol-5-yl)-piperazin-1-yl]-3-phenyl-propynone-1-[4-(3-Methanesulfonyl-[1,2,4]thiadiazol-5-yl)-piperazin-1-yl]-3-phenyl-propynone

SDCN RALCTT



L5 ANSWER 2 OF 2 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2005-322826 [33] WPIX  
 DOC. NO. CPI: C2005-100699 [33]  
 TITLE: New 1,2,4-thiadiazole derivatives useful in pest controlling composition for controlling insect and acarine pests  
 DERWENT CLASS: C02  
 INVENTOR: HARA H; IHARA H; MIZUNO H; TAKAOKA D  
 PATENT ASSIGNEE: (SUMO-C) SUMITOMO CHEM CO LTD; (HARA-I) HARA H; (MIZU-I) MIZUNO H; (TAKA-I) TAKAOKA D  
 COUNTRY COUNT: 107  
 PATENT INFO ABBR.:



PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2005037805	A2	20050428	(200533)*	EN	55[0]	
JP 2005139171	A	20050602	(200537)	JA	32	
AU 2004282018	A1	20050428	(200670)	EN		
MX 2006004118	A1	20060701	(200677)	ES		
BR 2004015364	A	20061212	(200701)	PT		
US 20070004722	A1	20070104	(200703)	EN		
EP 1765798	A2	20070328	(200725)	EN		
ZA 2006001274	A	20070530	(200741)	EN	58	
KR 2007018794	A	20070214	(200755)	KO		
IN 2006CN01272	P4	20070629	(200768)	EN		
WO 2005037805	A3	20071122	(200777)	EN		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005037805	A2	WO 2004-JP14540	20040927
AU 2004282018	A1	AU 2004-282018	20040927
BR 2004015364	A	BR 2004-15364	20040927
EP 1765798	A2	EP 2004-773569	20040927
MX 2006004118	A1	WO 2004-JP14540	20040927
BR 2004015364	A	WO 2004-JP14540	20040927
US 20070004722	A1	WO 2004-JP14540	20040927
EP 1765798	A2	WO 2004-JP14540	20040927
KR 2007018794	A	WO 2004-JP14540	20040927
IN 2006CN01272	P4	WO 2004-JP14540	20040927
JP 2005139171	A	JP 2004-297325	20041012
ZA 2006001274	A	ZA 2006-1274	20040927
US 20070004722	A1	US 2006-567984	20060210
MX 2006004118	A1	MX 2006-4118	20060411
IN 2006CN01272	P4	IN 2006-CN1272	20060413
KR 2007018794	A	KR 2006-707218	20060414

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2004282018	A1	WO 2005037805
MX 2006004118	A1	WO 2005037805
BR 2004015364	A	WO 2005037805
EP 1765798	A2	WO 2005037805
KR 2007018794	A	WO 2005037805

PRIORITY APPLN. INFO: JP 2003-354758 20031015

AN 2005-322826 [33] WPIX

AB WO 2005037805 A2 UPAB: 20071024

NOVELTY - 1,2,4-thiadiazole derivatives are new.

DETAILED DESCRIPTION - 1,2,4-thiadiazole derivatives of formula (I) are new.

R1 = 3-7C alkynyl;

X = 4-7C straight alkylene, 4-7C straight alkenylene (both optionally mono - tetrasubstituted by R2) or ethylene-oxy-ethylene and ethylene-thio-ethylene (both optionally mono - tetra-substituted by R4);

R2 = halo, trifluoromethyl or 1-4C alkyl; and

R4 = F or 1-3C alkyl.

An INDEPENDENT CLAIM is included for new intermediate 1,2,4-thiadiazole derivatives of formula (II).

L1 STR  
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L3 2 SEA SSS FUL L1

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L5 2 SEA ABB=ON PLU=ON L4/DCR

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D L3 IBIB ABS QHIT TOT

FILE 'WPIX' ENTERED AT 16:53:00 ON 31 DEC 2007  
D QUE L5  
D L5 IBIB ABS HITSTR TOT